Claims

[1] A substituted Sym-triindole derivative represented by the following general formula (1)

[formula 1]

$$R_{1}$$
 R_{2}
 R_{3}
 R_{4}
 R_{4}
 R_{5}
 R_{1}
 R_{5}
 R_{4}
 R_{1}
 R_{5}
 R_{4}
 R_{1}
 R_{2}
 R_{3}
 R_{4}
 R_{4}
 R_{5}
 R_{4}
 R_{5}
 R_{4}
 R_{2}

(wherein R_1 , R_2 , R_3 and R_4 are each independently hydrogen, halogen, C1-C6 alkyl group, C1-C6 haloalkyl group, substituted C1-C6 alkyl group, C2-C6 alkenyl group, substituted C2-C6 alkenyl group, C2-C6 alkynyl group, substituted C2-C6 alkynyl group, hydroxyl group, C1-C6 alkoxy group, aryloxy 10 group, amino group, mono-substituted amino group, disubstituted amino group, acylamino group, mercapto group, C1-C6 alkylsulfenyl group, C1-C6 haloalkylsulfenyl group, arylsulfenyl group, substituted arylsulfenyl group, C1-C6 alkylsulfinyl group, C1-C6 haloalkylsulfinyl group, aralkylsulf-15 enyl group, arylsulfinyl group, substituted arylsulfinyl

group, C1-C6 alkylsulfonyl group, C1-C6 haloalkylsulfonyl group, arylsulfonyl group, substituted arylsulfonyl group, sulfonic acid group (hydroxysulfonyl group), aryl group, substituted aryl group, cyano group, nitro group, formyl group, acyl group, carboxyl group, C1-C6 alkoxycarbonyl group, carbamoyl group, N-mono-substituted carbamoyl group, N,N-disubstituted carbamoyl group, hydrazonomethyl group, N,N-disubstituted hydrazonomethyl group, N,N-disubstituted hydrazonomethyl group, oximemethyl group (hydroxyiminomethyl group), C1-C6 alkoxyiminomethyl group, or aryloxyiminomethyl group; R5 is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group, or aryl C1-C6 alkyl group; in no event, all of R1, R2, R3 and R4 are hydrogen simultaneously).

15 [2] A process for producing a substituted Sym-triindole derivative represented by the following general formula (1)

[formula 3]

$$R_{1}$$
 R_{2}
 R_{3}
 R_{4}
 R_{4}
 R_{4}
 R_{5}
 R_{4}
 R_{1}
 R_{5}
 R_{4}
 R_{1}
 R_{2}
 R_{3}
 R_{4}
 R_{5}
 R_{5}

10

15

(wherein R_1 , R_2 , R_3 and R_4 are each independently hydrogen, halogen, C1-C6 alkyl group, C1-C6 haloalkyl group, substituted C1-C6 alkyl group, C2-C6 alkenyl group, substituted C2-C6 alkenyl group, C2-C6 alkynyl group, substituted C2-C6 alkynyl group, hydroxyl group, C1-C6 alkoxy group, aryloxy mono-substituted digroup, amino group, amino group, substituted amino group, acylamino group, mercapto group, C1-C6 alkylsulfenyl group, C1-C6 haloalkylsulfenyl group, aralkylsulfenyl group, arylsulfenyl group, substituted arylsulfenyl group, C1-C6 alkylsulfinyl group, C1-C6 haloalkylsulfinyl group, arylsulfinyl group, substituted arylsulfinyl group, C1-C6 alkylsulfonyl group, C1-C6 haloalkylsulfonyl group, arylsulfonyl group, substituted arylsulfonyl group, sulfonic acid group (hydroxysulfonyl group), aryl group, substituted aryl group, cyano group, nitro group, formyl group,

acyl group, carboxyl group, C1-C6 alkoxycarbonyl group, carbamoyl group, N-mono-substituted carbamoyl group, N,N-disubstituted carbamoyl group, hydrazonomethyl group (-CH=N-NH2 group), N-mono-substituted hydrazonomethyl group, N,N-disubstituted hydrazonomethyl group, oximemethyl group (hydroxyiminomethyl group), C1-C6 alkoxyiminomethyl group, or aryloxyiminomethyl group; R5 is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group, or aryl C1-C6 alkyl group; in no event, all of R1, R2, R3 and R4 are hydrogen simultaneously), which process comprises reacting a substituted oxyindole represented by the following general formula (2)

[formula 2]

10

$$\begin{array}{c|c}
R_3 & R_4 \\
R_2 & R_1 & R_5
\end{array}$$
(2)

(wherein R_1 , R_2 , R_3 , R_4 and R_5 have the same definitions as given above) with a phosphorus oxyhalide.

[3] A Sym-triindole derivative represented by the following general formula (3)

[formula 4]

$$R_6$$
 R_5
 R_5
 R_5
 R_5
 R_5
 R_5
 R_5
 R_6
 R_6

(wherein R_5 is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group, or aryl C1-C6 alkyl group; and R_6 is hydrogen, formyl group, cyano group, C1-C6 alkoxycarbonyl group, dicyanovinyl group, aryl group or substituted aryl group).

[4] A process for producing a Sym-triindole derivative represented by the following general formula (7)

[formula 8]

$$R_7$$
 R_5
 R_5
 R_5
 R_7
 R_7
 R_7
 R_7
 R_7
 R_7

(wherein R_5 is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group; and R_7 is hydrogen, formyl group, cyano group, C1-C6 alkoxycarbonyl group, aryl group or substituted aryl group), which process comprises reacting an N-substituted-5-halo-oxyindole represented by the following general formula (4)

[formula 5]

$$X \longrightarrow O \qquad (4)$$
 R_5

(wherein R_5 has the same definition as given above; and X is halogen) with a phosphorus oxyhalide to obtain an N- substituted-5-halo-triindole derivative represented by the following general formula (5)

[formula 6]

(wherein R_5 and X have the same definitions as given above) and further reacting it with a boric acid compound represented by the following general formula (6)

[formula 7]

$$R_7$$
 OR_a OR_b OR_b

- $_{R_b}$ are each independently hydrogen atom, C1-C6 alkyl group or optionally substituted phenyl group and may be combined to each other to form a ring).
- [5] A process for producing a Sym-triindole derivative rep-10 resented by the following general formula (7)

[formula 11]

$$R_7$$
 R_5
 R_5
 R_5
 R_7
 R_7

(wherein R_5 is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group; and R_7 is hydrogen, formyl group, cyano group, C1-C6 alkoxycarbonyl group, aryl group or substituted aryl group), which process comprises reacting an N-substituted-5-halo-triindole derivative represented by the following general formula (5)

[formula 9]

(wherein R_5 has the same definition as given above; and X is halogen) with a boric acid compound represented by the fol-

lowing general formula (6)

[formula 10]

$$R_7$$
 OR_a (6)

(wherein R_7 has the same definition as given above; and R_a and R_b are each independently hydrogen atom, C1-C6 alkyl group or optionally substituted phenyl group and may be combined to each other to form a ring).

[6] A process for producing an N-substituted-5-halo-triindole derivative represented by the following general formula (5)

10 [formula 13]

(wherein R_5 is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group; and X is halogen), which process comprises reacting an N-

substituted-5-halo-oxyindole represented by the following general formula (4)

[formula 12]

$$X \longrightarrow O$$
 (4)

(wherein R_5 and X have the same definitions as given above) with a phosphorus oxyhalide.

[7] A process for producing a Sym-triindole derivative represented by the following general formula (10)

[formula 16]

$$R_8$$
 R_8
 R_8
 R_8
 R_8
 R_8
 R_8
 R_8
 R_8
 R_8

(wherein R_5 is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group; R_8

is hydrogen or cyano group; and R_9 is cyano group, carboxylic acid group, C1-C6 alkoxycarbonyl group, aryl group or substituted aryl group), which process comprises reacting a triindole derivative represented by the following general formula (8)

[formula 14]

5

OHC
$$R_5$$
 N R_5 R_5 (8)

(wherein R_5 has the same definition as given above) with a methylene compound represented by the general formula (9)

[formula 15]

$$R_8 \cap R_9$$
 (9)

- 10 (wherein R_8 and R_9 have the same definitions as give above).
 - [8] A Sym-triindole vinyl derivative represented by the following general formula (11)

[formula 17]

$$\begin{array}{c|c}
R_8 \\
R_9 \\
R_{10} \\$$

(wherein R_8 is hydrogen or cyano group; R_9 is cyano group, carboxylic acid group, C1-C6 alkoxycarbonyl group, aryl group or substituted aryl group; and R_{10} is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group).

[9] A process for producing a Sym-triindole derivative represented by the following general formula (11)

[formula 22]

(wherein R₈ is hydrogen or cyano group; R₉ is cyano group,

carboxylic acid group, C1-C6 alkoxycarbonyl group, aryl group or substituted aryl group; and R_{10} is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group), which process comprises reacting an oxyindole compound represented by the following general formula (12)

[formula 18]

$$\begin{array}{c}
X \\
N \\
R_{10}
\end{array}$$
(12)

10

(wherein R_{10} has the same definition as given above and X is halogen) with a phosphorus oxyhalide to obtain a Sym-halotriindole derivative represented by the following general formula (13)

[formula 19]

(wherein R_{10} and X have the same definitions as given above),

subjecting it to formylation with a formylating agent in the presence of butyllithium to obtain a Sym-formyltriindole derivative represented by the following general formula (14)

[formula 20]

OHC
$$R_{10}$$
 R_{10} R_{10}

(wherein R_{10} has the same definition as given above), and reacting it with a methylene compound represented by the following general formula (9)

[formula 21]

$$R_8 \frown R_9$$
 (9)

(wherein $\ensuremath{R_8}$ and $\ensuremath{R_9}$ have the same definitions as given above).

10 [10] A process for producing a Sym-triindole derivative represented by the following general formula (11)

[formula 25]

$$\begin{array}{c|c}
R_8 \\
R_9 \\
R_{10} \\$$

(wherein R_8 is hydrogen or cyano group; R_9 is cyano group, carboxylic acid group, C1-C6 alkoxycarbonyl group, aryl group or substituted aryl group; and R_{10} is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group), which process comprises reacting a Sym-formyltriindole derivative represented by the following general formula (14)

[formula 23]

OHC
$$R_{10}$$
 R_{10} R_{10}

(wherein R_{10} has the same definition as given above) with a $10\,$ methylene compound represented by the following general for-

mula (9)

[formula 24]

$$R_8 \stackrel{\frown}{R}_9$$
 (9)

(wherein R_8 and R_9 have the same definitions as given above). [11] A process for producing a Sym-formyltriindole derivative represented by the following general formula (14)

[formula 27]

OHC
$$R_{10}$$
 R_{10} R_{10}

(wherein R_{10} is C2-C12 alkyl group, C2-C12 substituted alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group), which process comprises subjecting a Sym-halo-triindole derivative represented by the following general formula (13)

[formula 26]

10

(wherein R_{10} has the same definition as given above and X is halogen), to formylation with a formylating agent in the presence of butyllithium.

[12] A Sym-triindole derivative represented by the following general formula (15)

[formula 28]

$$R_{10}$$
 R_{10}
 R_{10}
 R_{10}
 R_{10}
 R_{11}
 R_{11}

(wherein R_{10} is C2-C12 alkyl group, C2-C12 substituted alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group; and R_{11} is aryl group or substituted aryl group).

[13] A process for producing a Sym-triindole derivative represented by the following general formula (15)

[formula 31]

$$R_{10}$$
 R_{10} R_{10} R_{10} R_{10} R_{11}

(wherein R_{10} is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group; and R_{11} is aryl group or substituted aryl group), which process comprises reacting a Sym-halo-triindole derivative represented by the following general formula (13)

[formula 29]

$$\begin{array}{c|c}
R_{10} & X \\
\hline
 & R_{10} \\
\hline
 & R_{10}
\end{array}$$
(13)

(wherein R_{10} has the same definition as given above and X is halogen) with an acetylene derivative represented by the following general formula (16)

[formula 30]

$$R_{11} = R_{12}$$
 (16)

- 5 (wherein R_{11} has the same definition as given above and R_{12} is hydrogen or trimethylsilyl group).
 - [14] A Sym-halo-triindole derivative represented by the following general formula (13)

[formula 32]

$$\begin{array}{c|c}
R_{10} & X \\
X & R_{10}
\end{array}$$

$$\begin{array}{c}
R_{10} & X \\
X & X
\end{array}$$

$$\begin{array}{c}
X & X \\
X & X
\end{array}$$

$$\begin{array}{c}
X & X \\
X & X
\end{array}$$

$$\begin{array}{c}
X & X \\
X & X
\end{array}$$

$$\begin{array}{c}
X & X \\
X & X
\end{array}$$

10 (wherein R_{10} is C2-C12 alkyl group, substituted C2-C12 alkyl group, C2-C12 haloalkyl group or aryl C1-C6 alkyl group; and X is halogen).